

Remarks:

The Office has remarked upon asserted informalities in the specification and copied the preferred arrangement from M.P.E.P. 608.01(a). Applicants have amended the specification to add section headings to improve readability of the specification and to place the application in better condition for allowance.

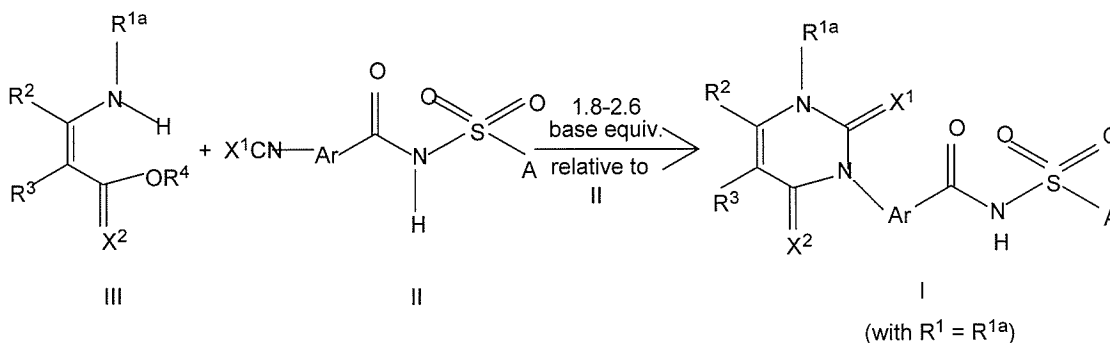
Applicants request withdrawal of any objections to the specification.

Claim 8 is objected to as assertedly a substantial duplicate of claim 1. Applicants traverse this objection because claims 1 and 8 differ in the meaning of the group "Ar," and consequently differ in the structure recited. In claim 1, "Ar" represents a phenyl group, which optionally is substituted by one or more radicals. The position of these substituents and the location of the linkages of this phenyl group to the other parts of the molecule (the iso(thio)cyanate group and the $-C(X^3)NHSO_2A$ group) are not fixed or specified. In claim 8, in contrast, the phenyl ring is fixed in the meta position with respect to the iso(thio)cyanate and $-C(X^3)NHSO_2A$ group. Applicants request that the Office compare the structures of formula II and IIA. These two structures are not substantially the same. Applicants request withdrawal of this objection.

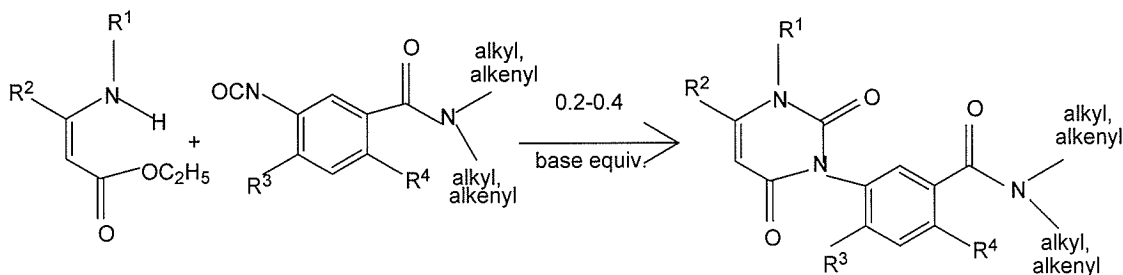
Claims 1-16 are rejected as obvious over Sting et al. (EP 0831091; hereinafter "Sting"). The current claims are drawn to a process of making a group of compounds. The Office asserts that the compounds of Sting possess an identical core and differ only in that uracils only, and not thiouracils, are taught. The other features of the claimed process are not discussed in the Office Action.

The present claims are directed to a process for the preparation of 3-phenyl(thio)uracils or 3-phenyldithiouracils of Formula I, comprising reacting a phenyliso(thio)cyanate of Formula II with an enamine of Formula III in the presence of from 1.8 to 2.6 base equivalents per mole of the phenyliso(thio)cyanate of Formula II. Please refer, for example, to claim 1 on page 4 of the preliminary amendment filed with the application, 7 lines from the bottom. An optional further step involves reaction of compounds of Formula I

wherein $R^1 = R^{1a}$, where R^1 is hydrogen, with an aminating agent of Formula IV (H_2N-L^1) to give compounds of Formula I where $R^1 = NH_2$.



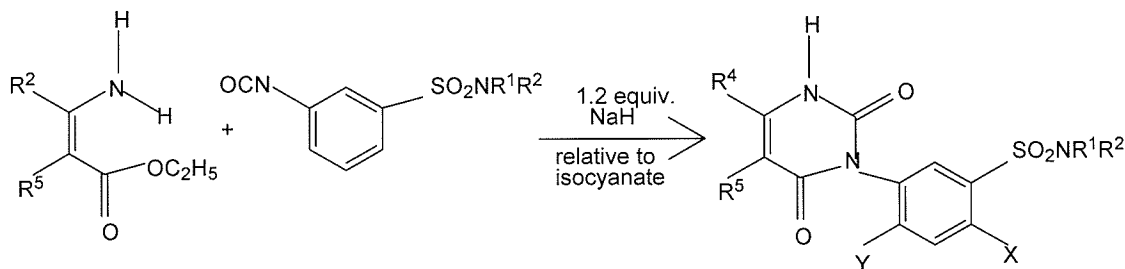
On the other hand, Sting teaches a process for the preparation of aryl uracils wherein an arylisocyanate is reacted with an enamine in the presence of from 0.2 to 0.4 base equivalents.



Therefore, the disclosure in Sting differs from the present invention in two important ways, not only in the structure of the side chain in meta-position to the isocyanate group (aminocarbonyl according to Sting versus aminosulfonyl-aminocarbonyl according to the present invention), but also in the use of catalytical amounts of base (from 0.2 to 0.4 equivalents for Sting) versus a clear surplus of base (from 1.8 to 2.6 equivalents for the present invention).

Strunk et al. (U.S. Patent No. 5,169,430; hereinafter "Strunk"), which is mentioned in the Office Action but not formally cited, teaches preparation of uracil substituted benzenesulfonamides by reacting isocyanatobenzenesulfonamide with an unsaturated ester in the presence of

NaH. This reaction, however, is carried out with nearly an equimolar amount of NaH per mole of isothiocyanate (see, for example, Example A-5, col. 12 of Strunk).



As discussed above, the present claims recite 1.8 to 2.6 equivalents, a clear surplus, of base. Therefore, the disclosure of Strunk differs from the present invention in two ways, (1) in the structure of the side chain in meta-position to the isocyanate group (aminosulfonyl according to Strunk versus aminosulfonylaminocarbonyl according to the present invention, and (2) in the amount of base used in the process (nearly equimolar amounts (1.2 equivalents) for Strunk versus a clear surplus (1.8 to 2.6 equivalents) for the present invention).

The objective technical problem underlying the present invention was to provide an improved process which allowed preparation of 3-phenyl(thio)uracils and 3-phenyldithiouracils with an aminosulfonylaminocarbonyl side chain. The technical effect conferred by using 1.8 to 2.6 base equivalents is that now it is possible to prepare 3-phenyl(thio)uracils and 3-phenyldithiouracils with an aminosulfonylaminocarbonyl side chain. Thus, the process of the present invention has solved this problem.

Applicants are submitting a declaration under 37 C.F.R. §1.132 executed by Dr. Michael Puhl, one of the inventors of the present claims. In this declaration, Dr. Puhl provides a report of a test which was carried out under his supervision. This test shows that the compounds resulting from the inventive process cannot be prepared using either of the processes of Sting or Strunk (catalytic amounts or nearly equimolar amounts of base).

The process as described in the attached declaration was started with 0.3 base equivalents per mole isocyanate. After no reaction was observed, the amount of base was increased to 1.1 base equivalents. Again, no product could be identified. The declaration therefore provides evidence that the differences in base used in the prior art processes compound to the claims here is not trivial, and certainly distinguishes the present process from Sting and from Strunk.

Sting does not contain the slightest hint, let alone a concrete disclosure, that using 1.8 and 2.6 base equivalents one could prepare phenyluracils according to the present invention. Sting explicitly teaches that the use of "a defined quantity," defined as 0.2 to 0.4 base equivalents, is required for the reaction. See Sting, page 3, line 54 and page 6, lines 27-29 for disclosure of base requirements in Sting. Sting provides nothing that would lead the skilled reader to so greatly increase the amount of base and no hint that this could be desirable for producing what is claimed here. The same is true of Strunk, since this reference as well is completely silent on the positive effect of using such a surplus of base as the present invention teaches. Even in combination these references fail to render the present claims obvious.


In summary, Applicants submit that the cited references do not teach or render obvious the claimed invention when all elements of the claim and all factors are considered. Neither of the methods cited against this application provide any teaching, or even guidance toward, the claim features discussed here and could not be used to produce the products of the claims, as shown by the accompanying Declaration.

Claim 10 has been amended to add a period at the end of the claim. Applicants request entry of the amendment and submit that no new matter is added.

Applicants request reconsideration of the application and allowance of the claims now pending.

Respectfully submitted,

By



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